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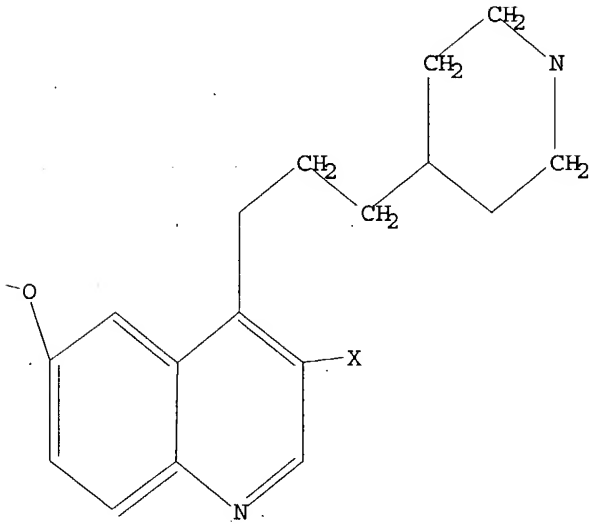


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L3 ANSWER 1 OF 4 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:253457 CA
TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
INVENTOR(S): Bacque, Eric; Bigot, Antony; El Ahmad, Youssef; Malleron, Jean Luc; Mignani, Serge; Ronan, Baptiste; Tabart, Michel; Viviani, Fabrice
PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
SOURCE: Fr. Demande, 96 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2844268	A1	20040312	FR 2002-11213	20020911
WO 2004024713	A1	20040325	WO 2003-FR2687	20030910
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RO, SC, SG, SY, TN, TT, UA, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004082610	A1	20040429	US 2003-659095	20030910
PRIORITY APPLN. INFO.:			FR 2002-11213 A	20020911

OTHER SOURCE(S): MARPAT 140:253457
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

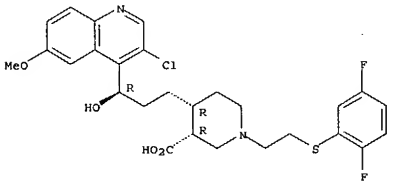
AB New 4-[3-(Quinol-4-yl)propyl]piperidine deriva. I are disclosed [wherein R1a = H, halo, OH, NH2, alkylamino, dialkylamino, hydroxyamino, alkoxyamino, or alkylalkoxyamino; R1b = H, or R1aR1b = oxo; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPh [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxycarbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by cycloalkyl contg. 3 -7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxycarbonyl, cyano, or NH2]; R4 = C1-6 alkyl,

L3 ANSWER 1 OF 4 CA COPYRIGHT 2004 ACS on STN (Continued)
alkenyl-CH2, or alkynyl-CH2 (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including various isomers, enantiomeric and diastereoisomeric forms, mixts. and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Two synthetic examples are given. For example, II was prepd. by alkylation of III.bul.HCl (prepn. given) with 2-(bromoethylsulfanyl)thiophene, followed by basic hydrolysis. In vivo, compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at 12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c.

IT **669092-73-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bactericide; prepn. of quinolylpropyl piperidines as antimicrobial agents)

RN 669092-73-3 CA
CN 3-Piperidinecarboxylic acid, 4-[(3R)-3-(3-chloro-6-methoxy-4-quinolinyl)-3-hydroxypropyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 4 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:235614 CA
TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
INVENTOR(S): Bacque, Eric; Bigot, Antony; El Ahmad, Youssef; Malleron, Jean Luc; Mignani, Serge; Ronan, Baptiste; Tabart, Michel; Viviani, Fabrice
PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
SOURCE: Fr. Demande, 66 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2844270	A1	20040312	FR 2002-11212	20020911
WO 2004024712	A1	20040325	WO 2003-FR2686	20030910
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RO, SC, SG, SY, TN, TT, UA, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004087619	A1	20040506	US 2003-659164	20030910
PRIORITY APPLN. INFO.:			FR 2002-11212 A	20020911

OTHER SOURCE(S): MARPAT 140:235614
GI

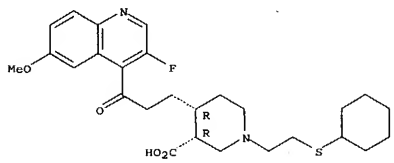
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB New 4-[3-(Quinol-4-yl)propyl]piperidine deriva. I are disclosed [wherein R1 = H or F; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPh [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by cycloalkyl contg. 3 -7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2 (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including enantiomeric and diastereoisomeric forms, mixts. thereof, and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Five synthetic examples are given. For example, II was prepd. by N-alkylation of III (prepn. given) with 2-[(2-bromoethyl)sulfanyl]-1,4-difluorobenzene, followed by acidic hydrolysis. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at 12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c. (2 administrations).

IT **668463-19-2P**, (3R,4R)-1-[2-(Cyclohexylsulfanyl)ethyl]-4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxopropyl]piperidine-3-carboxylic acid
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bactericide; prepn. of quinolylpropylpiperidines as antimicrobials)

RN 668463-19-2 CA
CN 3-Piperidinecarboxylic acid, 1-[2-(cyclohexylthio)ethyl]-4-[3-(3-fluoro-6-methoxy-4-quinolinyl)-3-oxopropyl]-, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

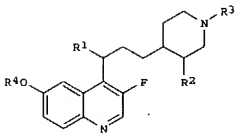


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 137:232568 CA
TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
INVENTOR(S): Bacque, Eric; Mignani, Serge; Malleron, Jean-Luc; Tabart, Michel; Evers, Michel; Viviani, Fabrice; El-Ahmad, Youssef; Mutti, Stephane; Daubie, Christophe
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072572	A1	20020919	WO 2002-FR851	20020311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2822154	A1	20020920	FR 2001-3374	20010313
EP 1370550	A1	20031217	EP 2002-722329	20020311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523573	T2	20040805	JP 2002-571488	20020311
US 2002177606	A1	20021128	US 2002-96482	20020313
US 6602884	B2	20030805		
US 2003171369	A1	20030911	US 2003-387479	20030314
PRIORITY APPLN. INFO.:			FR 2001-3374 A	20010313
			US 2001-281407P P	20010405
			WO 2002-FR851 W	20020311
			US 2002-96482 A3	20020313

OTHER SOURCE(S): MARPAT 137:232568
GI



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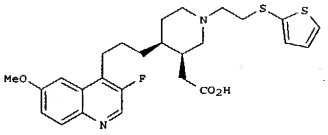
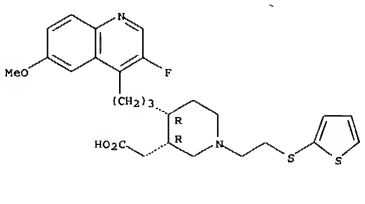


II

AB New 4-[3-(Quinol-4-yl)propyl]piperidine derivs. I are disclosed [wherein R1 = H, halo, OH, NH2, alkylamino, dialkylamino, hydroxyamino, alkoxyamino, or alkylalkoxyamino; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPh [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by cycloalkyl contg. 3-7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2- (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including diastereoisomeric forms, mixts. thereof, cis or trans forms, and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Ten synthetic examples are given. For instance, Wittig reaction of 4(RS)-4-allyl-1-(benzyloxycarbonyl)piperidin-3-one with Ph3P:CHCO2Me gave a Z-isomeric exocyclic olefin, which underwent hydroboration at allyl and Pd-catalyzed coupling with 4-iodo-3-fluoro-6-methoxyquinoline, followed by hydrogenation of the olefin with concomitant N-deprotection, N-alkylation with 2-(2-bromoethylthio)thiophene, and sapon. of the Me ester, to give the racemic title compd. II.2HCl. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at

L3 ANSWER 3 OF 4 CA COPYRIGHT 2004 ACS on STN (Continued)
12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c. (2 administrations).
IT **459452-85-8P**, (3RS,4RS)-4-[3-(3-Fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-3-acetic acid dihydrochloride
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)
RN 459452-85-8 CA
CN 3-Piperidineacetic acid, 4-[3-(3-fluoro-6-methoxy-4-quinolinyl)propyl]-1-[2-(2-thienylthio)ethyl]-, dihydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



●2 HCl

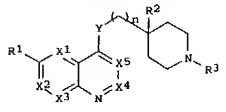
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 4 OF 4 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 136:386033 CA
TITLE: Heterocyclylalkyl piperidine derivatives, particularly 4-[3-(quinolin-4-yl)propyl]piperidine-4-carboxylic acids, their preparation and compositions containing same, for use as antibacterials.
INVENTOR(S): Bacque, Eric; Carry, Jean-Christophe; El-Ahmad, Youssef; Evers, Michel; Hubert, Philippe; Malleron, Jean-Luc; Mignani, Serge; Pantel, Guy; Tabart, Michel; Viviani, Fabrice
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 362 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040474	A2	20020523	WO 2001-FR3559	20011114
WO 2002040474	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2816618	A1	20020517	FR 2000-14738	20001115
FR 2816618	B1	20021227		
AU 2002018365	A5	20020527	AU 2002-18365	20011114
US 2002111492	A1	20020815	US 2001-987386	20011114
US 6603005	B2	20030805		
EE 200300207	A	20030815	EE 2003-207	20011114
EP 1337529	A2	20030827	EP 2001-996538	20011114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015312	A	20030923	BR 2001-15312	20011114
JP 2004514661	T2	20040520	JP 2002-543484	20011114
NO 2003002187	A	20030626	NO 2003-2187	20030514
US 2004147518	A1	20040729	US 2003-607220	20030627
PRIORITY APPLN. INFO.:			FR 2000-14738 A	20001115
			US 2000-255145P P	20001214
			US 2001-987386 A3	20011114
			WO 2001-FR3559 W	20011114

OTHER SOURCE(S): MARPAT 136:386033
GI

L3 ANSWER 4 OF 4 CA COPYRIGHT 2004 ACS on STN (Continued)



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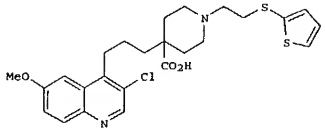


II

AB The invention concerns heterocyclylalkyl piperidine derivs. I, including their enantiomeric or diastereoisomeric forms, or mixts. thereof, and/or their syn or anti forms, or mixts. thereof, and their salts [wherein X1, X2, X3, X4, and X5 = C(R'1), C(R'2), C(R'3), C(R'4), C(R'5), or one of X-groups (at most) = N; R1, R'1, R'2, R'3, R'4, R'5 = H, halo, alkyl, cycloalkyl, Ph, PhS, OH, heterocyclyl, cyano, CO2H, alkoxycarbonyl, (un)substituted NH2, etc.; R2 = CO2H, alkyloxycarbonyl, cycloalkyloxycarbonyl, cyano, CONRaRb, CH2OH, substituted alkyl, CF2-Rc, C(CH3)2-Rc, CORc, CH(OH)-Rc, C(cycloalkyl)-Rc, or CH:CH-Rc; Ra, Rb = H, alkyl, cycloalkyl, Ph, heterocyclyl; or NRaRb = (un)substituted 5- or 6-membered heterocycle; Rc = CO2H, alkoxycarbonyl, cycloalkoxycarbonyl, CONRaRb; R3 = Ph, heterocyclyl, various substituted alkyls; Y = CH(Re), CF2, C(:NOH), alkyloxyiminomethylene, cycloalkyloxyiminomethylene, or C3-6 cycloalkylidene; Re = H, F, OH, alkoxy, cycloalkoxy, CO2H, alkoxycarbonyl, NRaRb, CONRaRb; and n = 0-4; wherein the radicals or Ph or heterocyclyl portions mentioned above can optionally be substituted]. Approx. 60 compds. were prepd., 5 were specifically claimed, and many more names were listed. For instance, Pd-complex-catalyzed coupling of 4-allyl 4-Cbz-1-BOC-piperidine with 4-bromo-3-chloro-6-methoxyquinoline (prepns. of both compds. given), followed by removal of the BOC group with CF3CO2H, N-alkylation with 2-[(2-bromoethyl)thio]thiophene, and hydrolysis of the benzyl ester (Cbz) in aq. HCl, gave title compd. II as the di-HCl salt. I are active against both gram-pos. and gram-neg. bacteria. I were active against exptl. infection of mice with Staphylococcus aureus IP8203 at 18-150 mg/kg s.c., or 20-150 mg/kg orally. None of the compds. showed

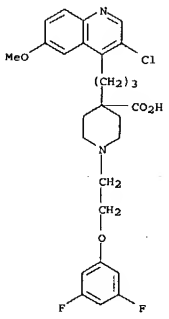
L3 ANSWER 4 OF 4 CA COPYRIGHT 2004 ACS on STN (Continued)

toxicity in mice at 100 mg/kg s.c. (2 administrations).

IT **426841-95-4P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxylic acid
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of quinolinylpropylpiperidinecarboxylic acids as antibacterials.)

RN 426841-95-4 CA

CN 4-Piperidinecarboxylic acid, 4-[3-(3-chloro-6-methoxy-4-quinolinyl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]- (9CI) (CA INDEX NAME)



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=> s l2
L4            6 L2

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L4 ANSWER 1 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2004:190745 USPATFULL
TITLE: Heterocyclylalkylpiperidine derivatives, their preparation and compositions containing them
INVENTOR(S): Bacque, Eric, Gif Sur Yvette, FRANCE
Carry, Jean-Christophe, Saint Maur Des Fossees, FRANCE
El-Ahmad, Youssef, Creteil, FRANCE
Evers, Michel, La Queue En Brie, FRANCE
Hubert, Philippe, Maisons-Alfort, FRANCE
Malleron, Jean-Luc, Marcoussis, FRANCE
Mignani, Serge, Chatenay-Malabry, FRANCE
Pantel, Guy, La Queue En Brie, FRANCE
Tabart, Michel, La Norville, FRANCE
Viviani, Fabrice, Louvres, FRANCE
PATENT ASSIGNEE(S): Aventis Pharma S.A. (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004147518	A1	20040729
APPLICATION INFO.:	US 2003-607220	A1	20030627 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-987386, filed on 14 Nov 2001, GRANTED, Pat. No. US 6603005

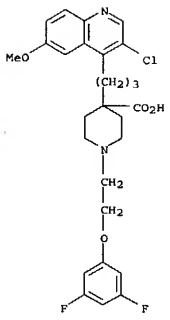
	NUMBER	DATE
PRIORITY INFORMATION:	FR 2000-14738	20001115

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: FINNEGAN, HENDERSON, FARABOW, GARRETT & DUNNER, LLP, 1300 I STREET, NW, WASHINGTON, DC, 20005
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 13194
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Heterocyclylalkylpiperidine derivatives of general formula (I) ##STR1##

in their enantiomeric or diastereoisomeric forms or mixtures of these forms, and/or, where appropriate, in their syn or anti form or a mixture thereof, as well as any salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **426841-95-4P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxylic acid
(drug candidate; prepn. of quinolinylpropylpiperidinecarboxylic acids as antibacterials.)
RN 426841-95-4 USPATFULL
CN 4-Piperidinecarboxylic acid, 4-[3-(3-chloro-6-methoxy-4-quinolinyl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 6 USPATFULL on STN (Continued)



L4 ANSWER 2 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2004:114773 USPATFULL
TITLE: Quinolylpropylpiperidine derivatives, intermediates and
compositions containing them, and preparation therefor
INVENTOR(S): Bacque, Eric, Gif sur Yvette, FRANCE
Bigot, Antony, Massy, FRANCE
Ahmad, Youssef Ei, Creteil, FRANCE
Malleron, Jean-Luc, Marcoussis, FRANCE
Mignani, Serge, Chatenay Malabry, FRANCE
Ronan, Baptiste, Clamart, FRANCE
Tabart, Michel, La Norville, FRANCE
Viviani, Fabrice, Louvres, FRANCE

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004087619	A1	20040506
APPLICATION INFO.:	US 2003-659164	A1	20030910 (10)

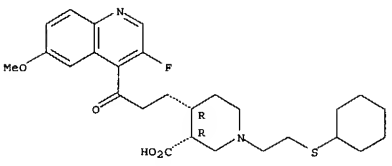
	NUMBER	DATE
PRIORITY INFORMATION:	FR 2002-11212	20020911

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE 202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1
LINE COUNT: 1804
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Quinolylpropylpiperidine derivatives of general formula (I) in which R.sub.1 is hydrogen or fluorine, R.sub.2 is carboxyl, carboxymethyl or hydroxymethyl, R.sub.3 is alkyl substituted either with phenylthio optionally substituted with halogen, hydroxyl, alkyl, alkyloxy, trifluoromethyl, trifluoromethoxy, carboxyl, alkyloxycarbonyl, cyano or amino, or with cycloalkylthio (3 to 7 members) optionally substituted with halogen or trifluoromethyl, or with heteroarylthio (5 to 6 members and 1 to 4 heteroatoms chosen from N, O and S), optionally substituted with halogen, hydroxyl, alkyl, alkyloxy, trifluoromethyl, trifluoromethoxy, carboxyl, alkyloxycarbonyl, cyano or amino or R.sub.3 is propargyl substituted by phenyl or hetercaryl as defined above and R.sub.4 is alkyl, alkenyl-CH.sub.2-- or alkynyl-CH.sub.2--, cycloalkyl or cycloalkylalkyl, in their various isomeric forms, separate or as mixtures, and also their salts, their preparation process and intermediates and the compositions containing them. These novel derivatives are potent antibacterial agents. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **668463-19-2P**, (3R,4R)-1-[2-(Cyclohexylsulfanyl)ethyl]-4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxopropyl]piperidine-3-carboxylic acid
(bactericide; prepn. of quinolylpropylpiperidines as antimicrobials)
RN 668463-19-2 USPATFULL
CN 3-Piperidinecarboxylic acid, 1-[2-(cyclohexylthio)ethyl]-4-[3-(3-fluoro-6-methoxy-4-quinolinyl)-3-oxopropyl]-, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 6 USPATFULL on STN (Continued)



L4 ANSWER 3 OF 6 USPATFULL on STN
ACCESSION NUMBER: 2004:108208 USPATFULL
TITLE: Quinolylpropylpiperidine derivatives, intermediates and
compositions containing them, and preparation therefor
INVENTOR(S): Bacque, Eric, Gif sur Yvette, FRANCE
Bigot, Antony, Massy, FRANCE
Ahmad, Youssef El, Creteil, FRANCE
Malleron, Jean-Luc, Marcoussis, FRANCE
Mignani, Serge, Chatenay Malabry, FRANCE
Ronan, Baptiste, Clamart, FRANCE
Tabart, Michel, La Norville, FRANCE
Viviani, Fabrice, Louvres, FRANCE

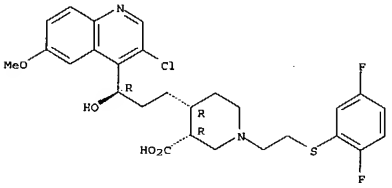
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004082610	A1	20040429
APPLICATION INFO.:	US 2003-659095	A1	20030910 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 2002-11213	20020911

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE 202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807
NUMBER OF CLAIMS: 28
EXEMPLARY CLAIM: 1
LINE COUNT: 2667
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Quinolylpropylpiperidine derivatives of general formula (I) in which R.sub.1a is hydrogen, halogen, hydroxyl, amino, alkylamino, dialkylamino, hydroxyamino, alkoxyamino or alkylalkoxyamino and R.sub.1b is hydrogen, or R.sub.1a and R.sub.1b form an oxo, R.sub.2 is carboxyl, carboxymethyl or hydroxymethyl, R.sub.3 is alkyl either substituted with phenylthio optionally substituted with halogen, hydroxyl, alkyl, alkoxy, trifluoromethyl, trifluoromethoxy, carboxyl, alkoxycarbonyl, cyano or amino, or with cycloalkylthio (3 to 7 members) optionally substituted with halogen or trifluoromethyl, or with heteroarylthio (5 to 6 members and 1 to 4 heteroatoms chosen from N, O and S), optionally substituted with halogen, hydroxyl, alkyl, alkoxy, trifluoromethyl, trifluoromethoxy, carboxyl, alkoxycarbonyl, cyano or amino or R.sub.3 is propargyl substituted with phenyl or heteroaryl as defined above, R.sub.4 is alkyl, alkenyl-CH.sub.2-- or alkynyl-CH.sub.2--, cycloalkyl or cycloalkylalkyl, in their various isomeric forms, separate or as mixtures, and also their salts, their preparation process and intermediates and the compositions containing them. These novel derivatives are potent antibacterial agents. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **669092-73-3P**
(bactericide; prepn. of quinolylpropyl piperidines as antimicrobial agents)
RN 669092-73-3 USPATFULL
CN 3-Piperidinecarboxylic acid, 4-[(3R)-3-(3-chloro-6-methoxy-4-quinolinyl)-3-hydroxypropyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 4 OF 6 USPATFULL on STN
ACCESSION NUMBER: 2003:244955 USPATFULL
TITLE: Quinolylpropylpiperidine derivatives, their preparation, and compositions containing them
INVENTOR(S): Bacque, Eric, Gif Sur Yvette, FRANCE
Mignani, Serge, Chatenay-Malabry, FRANCE
Malleron, Jean-Luc, Marcoussis, FRANCE
Tabart, Michel, La Norville, FRANCE
Evers, Michael, La Queue En Brie, FRANCE
Viviani, Fabrice, Louvres, FRANCE
Ahmad, Youssef El, Creteil, FRANCE
Mutti, Stephane, Le Perreux Sur Marne, FRANCE
PATENT ASSIGNEE(S): Aventis Pharma S.A. (non-U.S. corporation)

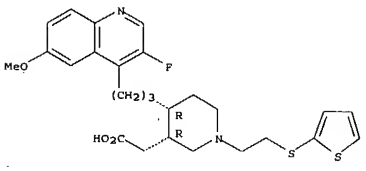
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003171369	A1	20030911
APPLICATION INFO.:	US 2003-387479	A1	20030314 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2002-96482, filed on 13 Mar 2002, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	FR 2001-3374	20010313
	US 2001-281407P	20010405 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: FINNEGAN, HENDERSON, FARABOW, GARRETT & DUNNER, LLP, 1300 I STREET, NW, WASHINGTON, DC, 20005
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 2744
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Quinolylpropylpiperidine derivatives of general formula (I) are described, and are useful as antimicrobial agents. Their preparation is also described. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **459452-85-8P**, (3RS,4RS)-4-[3-(3-Fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-3-acetic acid dihydrochloride
(drug candidate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)
RN 459452-85-8 USPATFULL
CN 3-Piperidineacetic acid, 4-[3-(3-fluoro-6-methoxy-4-quinolinyl)propyl]-1-[2-(2-thienylthio)ethyl]-, dihydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



●2 HCl

L4 ANSWER 5 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2002:315120 USPATFULL
TITLE: Quinolylpropylpiperidine derivatives, their preparation, and compositions containing them
INVENTOR(S): Bacque, Eric, Gif Sur Yvette, FRANCE
Mignani, Serge, Chatenay-Malabry, FRANCE
Malleron, Jean-Luc, Marcoussis, FRANCE
Tabart, Michel, La Norville, FRANCE
Evers, Michel, La Queue En Brie, FRANCE
Viviani, Fabrice, Louvres, FRANCE
El Ahmad, Youssef, Creteil, FRANCE
Mutti, Stephane, Le Perreux Sur Marne, FRANCE
Daubie, Christophe, Paris, FRANCE

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002177606	A1	20021128
	US 6602884	B2	20030805
APPLICATION INFO.:	US 2002-96482	A1	20020313 (10)

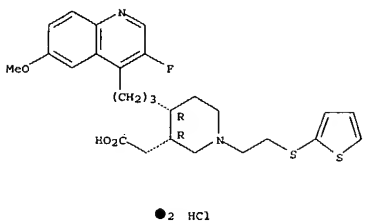
	NUMBER	DATE
PRIORITY INFORMATION:	FR 2001-3374	20010313
	US 2001-281407P	20010405 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow,, Garrett & Dunner, L.L.P., 1300 I Street, N.W., Washington, DC, 20005-3315
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 2733
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Quinolylpropylpiperidine derivatives of general formula (I) are described, and are useful as antimicrobial agents. Their preparation is also described. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **459452-85-8P**, (3RS,4RS)-4-[3-(3-Fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-3-acetic acid dihydrochloride
(drug candidate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)
RN 459452-85-8 USPATFULL
CN 3-Piperidineacetic acid, 4-[3-(3-fluoro-6-methoxy-4-quinolinyl)propyl]-1-[2-(2-thienylthio)ethyl]-, dihydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 5 OF 6 USPATFULL on STN (Continued)



●2 HCl

L4 ANSWER 6 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2002:206791 USPATFULL
TITLE: Heterocyclylalkylpiperidine derivatives, their preparation and compositions containing them
INVENTOR(S): Baque, Eric, Gif Sur Yvette, FRANCE
Carry, Jean-Christophe, Sant Maur des Fosses, FRANCE
El-Ahmad, Youssef, Creteil, FRANCE
Evers, Michel, La Queue en Brie, FRANCE
Hubert, Philippe, Maisons-Alfort, FRANCE
Malleron, Jean-Luc, Marcoussis, FRANCE
Mignani, Serge, Chatenay-Malabry, FRANCE
Pantel, Guy, La Queue en Brie, FRANCE
Tabart, Michel, La Norville, FRANCE
Viviani, Fabrice, Louvres, FRANCE

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002111492	A1	20020815
	US 6603005	B2	20030805
APPLICATION INFO.:	US 2001-987386	A1	20011114 (9)

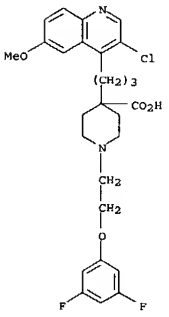
	NUMBER	DATE
PRIORITY INFORMATION:	FR 2000-14738	20001115
	US 2000-255145P	20001214 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow,, Garrett & Dunner, L.L.P., 1300 I Street, N.W., Washington, DC, 20005-3315
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 13207
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Heterocyclylalkylpiperidine derivatives of general formula (I) ##STR1##

in their enantiomeric or diastereoisomeric forms or mixtures of these forms, and/or, where appropriate, in their syn or anti form or a mixture
thereof, as well as any salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **426841-95-4P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxylic acid
(drug candidate; prepn. of quinolinylpropylpiperidinecarboxylic acids as antibacterials.)
RN 426841-95-4 USPATFULL
CN 4-Piperidinecarboxylic acid, 4-[3-(3-chloro-6-methoxy-4-quinolinyl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 6 USPATFULL on STN (Continued)



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L2           221 S L1 FULL

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L3             4 S L2

     FILE 'USPATFULL' ENTERED AT 09:46:53 ON 20 SEP 2004
L4             6 S L2

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STN INTERNATIONAL LOGOFF AT 09:47:16 ON 20 SEP 2004
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